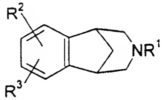
CLAIMS

1. A compound of the formula



(I)

R^1 is hydrogen, $(C_1\text{-}C_6)$alkyl, unconjugated $(C_3\text{-}C_6)$alkenyl, $XC(=O)R^{13}$ or $-CH_2CH_2\text{-}O\text{-}(C_1\text{-}C_4)$alkyl;

R^2 and R^3 are selected, independently, from hydrogen, $(C_2\text{-}C_6)$alkenyl, $(C_2\text{-}C_6)$alkynyl, hydroxy, nitro, amino, halo, cyano, $-SO_q(C_1\text{-}C_6)$alkyl wherein q is zero, one or two, $(C_1\text{-}C_6)$alkylamino-, $[(C_1\text{-}C_6)\text{alkyl}]_2$amino-, $-CO_2R^4$, $-CONR^5R^6$, $-SO_2NR^7R^8$, $-C(=O)R^{13}$, $-XC(=O)R^{13}$, aryl-$(C_0\text{-}C_3)$alkyl- or aryl-$(C_0\text{-}C_3)$alkyl-O-, wherein said aryl is selected from phenyl and naphthyl, heteroaryl-$(C_0\text{-}C_3)$alkyl- or heteroaryl-$(C_0\text{-}C_3)$alkyl-O-, wherein said heteroaryl is selected from five to seven membered aromatic rings containing from one to four heteroatoms selected from oxygen, nitrogen and sulfur, and $X^2(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl-, wherein X^2 is absent or X^2 is $(C_1\text{-}C_6)$alkylamino- or $[(C_1\text{-}C_6)\text{alkyl}]_2$amino-, and wherein the $(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl- moiety of said $X^2(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl- contains at least one carbon atom, and wherein from one to three of the carbon atoms of said $(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl- moiety may optionally be replaced by an oxygen, nitrogen or sulfur atom, with the proviso that any two such heteroatoms must be separated by at least two carbon atoms, and wherein any of the alkyl moieties of said $(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl- may be optionally substituted with from two to seven fluorine atoms, and wherein one of the carbon atoms of each of the alkyl moieties of said aryl-$(C_0\text{-}C_3)$alkyl- and said heteroaryl-$(C_0\text{-}C_3)$alkyl- may optionally be replaced by an oxygen, nitrogen or sulfur atom, and wherein each of the foregoing aryl and heteroaryl groups may optionally be substituted with one or more substituents, preferably from zero to two substituents, independently selected from $(C_1\text{-}C_6)$alkyl optionally substituted with from one to seven fluorine atoms, $(C_1\text{-}C_6)$alkoxy optionally substituted with from two to seven fluorine atoms, halo (e.g., chloro, fluoro, bromo or iodo), $(C_2\text{-}C_6)$alkenyl, $(C_2\text{-}C_6)$alkynyl, hydroxy, nitro, cyano, amino, $(C_1\text{-}C_6)$alkylamino-, $[(C_1\text{-}C_6)\text{ alkyl}]_2$amino-, $-CO_2R^4$, $-CONR^5R^6$, $-SO_2NR^7R^8$, $-C(=O)R^{13}$ and $-XC(=O)R^{13}$;

or R^2 and R^3, together with the carbons to which they are attached, form a four to seven membered monocyclic, or ten to fourteen membered bicyclic, carbocyclic ring that can be saturated or unsaturated, wherein from one to three of the nonfused carbon atoms of said monocyclic rings, and from one to five of the carbon atoms of said bicyclic rings that are not part

of the benzo ring shown in formula I, may optionally and independently be replaced by a nitrogen, oxygen or sulfur, and wherein said monocyclic and bicyclic rings may optionally be substituted with one or more substituents, preferably from zero to two substituents for the monocyclic rings and from zero to three substituents for the bicyclic rings, that are selected, independently, from $(C_1\text{-}C_6)$ alkyl optionally substituted with from one to seven fluorine atoms, $(C_1\text{-}C_6)$ alkoxy optionally substituted with from one to seven fluorine atoms, nitro, cyano, halo, $(C_2\text{-}C_6)$alkenyl, $(C_2\text{-}C_6)$alkynyl, hydroxy, amino, $(C_1\text{-}C_6)$alkylamino and $[(C_1\text{-}C_6)\text{ alkyl}]_2$amino, $-CO_2R^4$, $-CONR^5R^6$, $-SO_2NR^7R^8$, $-C(=O)R^{13}$ and $-XC(=O)R^{13}$;

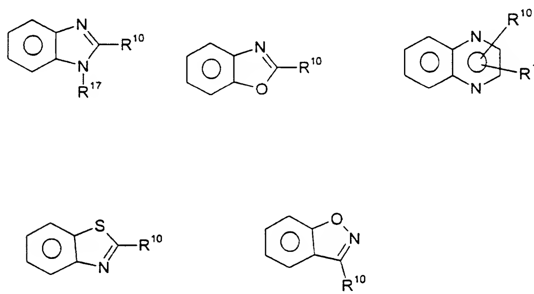
each R^4, R^5, R^6, R^7, R^8 and R^{13} is selected, independently, from hydrogen and $(C_1\text{-}C_6)$ alkyl, or R^5 and R^6, or R^7 and R^8 together with the nitrogen to which they are attached, form a pyrrolidine, piperidine, morpholine, azetidine, piperizine, N-$(C_1\text{-}C_6)$alkylpiperizine or thiomorpholine ring, or a thiomorpholine ring wherein the ring sulfur is replaced with a sulfoxide or sulfone; and

each X is, independently, $(C_1\text{-}C_6)$alkylene;

with the proviso that: (a) at least one of R^1, R^2 and R^3 must be the other than hydrogen, and (b) when R^2 and R^3 are both hydrogen, R^1 cannot be hydrogen or methyl;

or a pharmaceutically acceptable salt thereof;

2. A compound according to claim 1, wherein R^2 and R^3, together with the benzo ring of formula I, form a bicyclic ring system selected from the following:



wherein R^{10} and R^{17} are selected, independently, from $(C_0\text{-}C_6)$alkoxy-$(C_0\text{-}C_6)$alkyl- wherein the total number of carbon atoms does not exceed six and wherein any of the alkyl moieties may optionally be substituted with from one to seven fluorine atoms; nitro, cyano, halo,

amino, $(C_1\text{-}C_6)$alkylamino-, $[(C_1\text{-}C_6)$ alkyl$]_2$amino-, $-CO_2R^4$, $-CONR^5R^6$, $-SO_2NR^7R^8$, $-C(=O)R^{13}$, $-XC(=O)R^{13}$, phenyl and monocyclic heteroaryl, wherein said heteroaryl is selected from five to seven membered aromatic rings containing from one to four heteroatoms selected from oxygen, nitrogen and sulfur,

3. A compound according to claim 1, wherein R^2 and R^3 do not, together with the benzo ring of formula I, form a bicyclic or tricyclic ring system.

4. A compound according to claim 1, wherein one or both of R^2 and R^3 are $-C(=O)R^{13}$ wherein R^{13} is $(C_1\text{-}C_6)$alkyl.

5. A compound according to claim 1, wherein one of R^2 and R^3 is $-COR^{13}$ wherein R^{13} is $(C_1\text{-}C_6)$alkyl or $(C_1\text{-}C_3)$alkyl optionally substituted with from one to seven fluorine atoms.

6. A compound according to claim 1, wherein one of R^2 and R^3 is CF_3, fluoro, cyano or C_2F_5.

7. A pharmaceutical composition for use in reducing nicotine addiction or aiding in the cessation or lessening of tobacco use in a mammal, comprising an amount of a compound according to claim 1 that is effective in reducing nicotine addiction or aiding in the cessation or lessening of tobacco use and a pharmaceutically acceptable carrier.

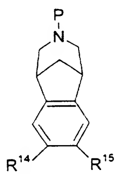
8. A method for reducing nicotine addiction or aiding in the cessation or lessening of tobacco use in a mammal, comprising administering to said mammal an amount of a compound according to claim 1 that is effective in reducing nicotine addiction or aiding in the cessation or lessening of tobacco use.

9. A pharmaceutical composition for treating a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amylotropic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke, traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal.

comprising an amount of a compound according to claim 1 that is effective in treating such disorder or condition and a pharmaceutically acceptable carrier.

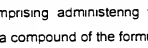
10 A method for treating a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amylotropic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke, traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal, comprising administering to a mammal in need of such treatment an amount of a compound according to claim 1 that is effective in treating such disorder or condition.

11 A compound of the formula



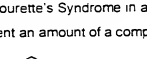
wherein P is hydrogen, methyl, $COOR^{16}$ wherein R^{16} is $(C_1\text{-}C_6)$alkyl, allyl or 2,2,2-trichloroethyl; $-C(=O)NR^5R^6$ wherein R^5 and R^6 are defined as in formula I above; -C(=O)H, $-C(=O)(C_1\text{-}C_6)$alkyl wherein the alkyl moiety may optionally be substituted with from 1 to 3 halo atoms, preferably with from 1 to 3 fluoro or chloro atoms; benzyl, t-butoxycarbonyl (t-Boc) or trifluoroacetyl, and R^{14} and R^{15} are selected, independently, from hydrogen, $(C_1\text{-}C_6)$alkyl optionally substituted with from one to seven fluorine atoms; $-C(=O)(C_1\text{-}C_6)$alkyl, cyano, hydroxy, nitro, amino, $-O(C_1\text{-}C_6)$alkyl and halo, with the proviso that R^{14} and R^{15} can not both be hydrogen when P is hydrogen or methyl.

12. A method for reducing nicotine addiction or aiding in the cessation or lessening of tobacco use in a mammal, comprising administering to said mammal an amount of a compound comprising an amount of a compound of the formula



or a pharmaceutically acceptable salt thereof, that is effective in reducing nicotine addiction or aiding in the cessation or lessening of tobacco use.

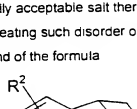
13. A method for treating a disorder or condition selected from inflammatory bowel disease (including but not limited to ulcerative colitis, pyoderma gangrenosum and Crohn's disease), irritable bowel syndrome, spastic dystonia, chronic pain, acute pain, celiac sprue, pouchitis, vasoconstriction, anxiety, panic disorder, depression, bipolar disorder, autism, sleep disorders, jet lag, amylotropic lateral sclerosis (ALS), cognitive dysfunction, hypertension, bulimia, anorexia, obesity, cardiac arrythmias, gastric acid hypersecretion, ulcers, pheochromocytoma, progressive supramuscular palsy, chemical dependencies and addictions (e.g., dependencies on, or addictions to nicotine (and/or tobacco products), alcohol, benzodiazepines, barbituates, opioids or cocaine), headache, stroke, traumatic brain injury (TBI), psychosis, Huntington's Chorea, tardive dyskinesia, hyperkinesia, dyslexia, schizophrenia, multi-infarct dementia, age related cognitive decline, epilepsy, including petit mal absence epilepsy, senile dementia of the Alzheimer's type (AD), Parkinson's disease (PD), attention deficit hyperactivity disorder (ADHD) and Tourette's Syndrome in a mammal, comprising administering to a mammal in need of such treatment an amount of a compound of the formula



or a pharmaceutically acceptable salt thereof;

that is effective in treating such disorder or condition.

14. A compound of the formula



(I′)

wherein R^2 and R^3 are defined as in claim 1, and P′ is $COOR^{16}$ wherein R^{16} is allyl, 2,2,2-trichloroethyl or (C_1-C_6)alkyl, -C(=O)NR^5R^6 wherein R^5 and R^6 are defined as in claim 2,

$-C(=O)H$, $-C(=O)(C_1-C_6)$alkyl wherein the alkyl moiety may optionally be substituted with from 1 to 3 halo atoms, preferably with from 1 to 3 fluoro or chloro atoms; benzyl, or t-butoxycarbonyl (t-Boc).